

**Claims**

1. A method of screening for therapeutic agents useful in the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising the steps of
  - i) contacting a test compound with a KLKB1 polypeptide,
  - ii) detect binding of said test compound to said KLKB1 polypeptide.
2. A method of screening for therapeutic agents useful in the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising the steps of
  - i) determining the activity of a KLKB1 polypeptide at a certain concentration of a test compound or in the absence of said test compound,
  - ii) determining the activity of said polypeptide at a different concentration of said test compound.
3. A method of screening for therapeutic agents useful in the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising the steps of
  - i) determining the activity of a KLKB1 polypeptide at a certain concentration of a test compound,
  - ii) determining the activity of a KLKB1 polypeptide at the presence of a compound known to be a regulator of a KLKB1 polypeptide.
4. The method of any of claims 1 to 3, wherein the step of contacting is in or at the surface of a cell.
5. The method of any of claims 1 to 3, wherein the cell is in vitro.

6. The method of any of claims 1 to 3, wherein the step of contacting is in a cell-free system.
7. The method of any of claims 1 to 3, wherein the polypeptide is coupled to a detectable label.
8. The method of any of claims 1 to 3, wherein the compound is coupled to a detectable label.
- 5 9. The method of any of claims 1 to 3, wherein the test compound displaces a ligand which is first bound to the polypeptide.
10. The method of any of claims 1 to 3, wherein the polypeptide is attached to a solid support.
11. The method of any of claims 1 to 3, wherein the compound is attached to a solid support.
- 10 12. A method of screening for therapeutic agents useful in the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising the steps of
  - i) contacting a test compound with a KLKB1 polynucleotide,
  - 15 ii) detect binding of said test compound to said KLKB1 polynucleotide.
13. The method of claim 12 wherein the nucleic acid molecule is RNA.
14. The method of claim 12 wherein the contacting step is in or at the surface of a cell.
15. The method of claim 12 wherein the contacting step is in a cell-free system.
16. The method of claim 12 wherein polynucleotide is coupled to a detectable label.
- 20 17. The method of claim 12 wherein the test compound is coupled to a detectable label.
18. A method of diagnosing a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal
  - 25 comprising the steps of
    - i) determining the amount of a KLKB1 polynucleotide in a sample taken from said mammal,

- ii) determining the amount of KLKB1 polynucleotide in healthy and/or diseased mammals.
19. A pharmaceutical composition for the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising a therapeutic agent which binds to a KLKB1 polypeptide.
20. A pharmaceutical composition for the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising a therapeutic agent which regulates the activity of a KLKB1 polypeptide.
21. A pharmaceutical composition for the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising a therapeutic agent which regulates the activity of a KLKB1 polypeptide, wherein said therapeutic agent is
- i) a small molecule,
- ii) an RNA molecule,
- iii) an antisense oligonucleotide,
- iv) a polypeptide,
- v) an antibody, or
- vi) a ribozyme.
22. A pharmaceutical composition for the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising a KLKB1 polynucleotide.

23. A pharmaceutical composition for the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising a KLKB1 polypeptide.
24. Use of regulators of a KLKB1 for the preparation of a pharmaceutical composition for the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal.
25. Method for the preparation of a pharmaceutical composition useful for the treatment of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal comprising the steps of
- i) identifying a regulator of KLKB1,
  - ii) determining whether said regulator ameliorates the symptoms of a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders in a mammal; and
  - iii) combining of said regulator with an acceptable pharmaceutical carrier.
26. Use of a regulator of KLKB1 for the regulation of KLKB1 activity in a mammal having a disease comprised in a group of diseases consisting of cardiovascular disorders, endocrine system and hormone disorders, metabolic diseases, gastrointestinal and liver diseases, inflammatory diseases, cancer disorders, muscle-skeleton disorders, neurological disorders and urological disorders.